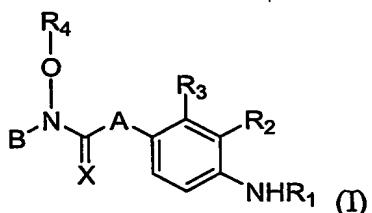


## **CLAIMS**

1. A compound represented by the following general formula (I), the pharmaceutically acceptable salt or the isomer thereof:

5



wherein

X is an oxygen or sulfur atom;

**10** A is an aminomethylene or methylene group;

B is a 4-*tert*-butylbenzyl, a 3,4-dimethylphenylpropyl, an oleyl or group wherein m is integer of 0 or 1 and n is 1 or 2;

$R_1$  is a halogen-substituted or unsubstituted lower alkylsulfone having 1 to 5 carbon atoms, arylsulfone or a lower alkylcarbonyl group having 1 to 5 carbon atoms;

15 R<sub>2</sub> is a hydrogen atom, a methoxy group or halogen atom;

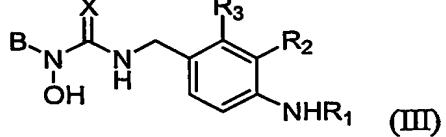
$R_3$  is a hydrogen atom, a methoxy group or halogen atom;

$R_4$  is a hydrogen atom or a lower alkyl group having 1 to 5 carbon atoms;

$R_5$  is a hydrogen atom or a lower alkyl group having 1 to 5 carbon atoms;

$R_6$  is a lower alkyl group having 1 to 5 carbon atoms or a phenyl group.

2. The compound according to claim 1 represented by the following general formula (III), the pharmaceutically acceptable salt or the isomer thereof:



wherein

**25** X is an oxygen atom or a sulfur atom;

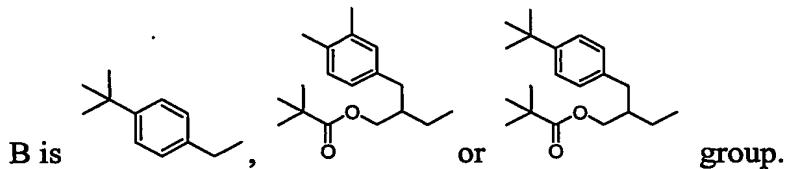
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$R_1$  is a halogen-substituted or unsubstituted lower alkylsulfone having 1 to 5 carbon atoms, arylsulfone or a lower alkylcarbonyl group having 1 to 5 carbon atoms;

$R_2$  is a hydrogen atom, a methoxyl group or a halogen atom;

$R_3$  is a hydrogen atom or a halogen atom;

5



3. The compound according to claim 2 wherein said compound is at least one selected from the group consisting of;

10 N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[4-(methylsulfonylamino)benzyl]thiourea,  
 N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[3-methoxy-4-(methylsulfonylamino)benzyl]thiourea,  
 N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[3-fluoro-4-(methylsulfonylamino)benzyl]thiourea,

15 N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[3-chloro-4-(methylsulfonylamino)benzyl]thiourea,  
 N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[4-(methylsulfonylamino)-3-nitrobenzyl]thiourea,  
 N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[2-fluoro-4-(methylsulfonylamino)benzyl]thiourea,

20 N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[2-chloro-4-(methylsulfonylamino)benzyl]thiourea,  
 N-[2-(3,4-dimethylbenzyl)-3-(pivaloyloxy)propyl]-N-hydroxy-N-[4-(methylsulfonylamino)benzyl]thiourea,

25 N-[2-(3,4-dimethylbenzyl)-3-(pivaloyloxy)propyl]-N-hydroxy-N-[3-methoxy-4-(methylsulfonylamino)benzyl]thiourea,  
 N-[2-(3,4-dimethylbenzyl)-3-(pivaloyloxy)propyl]-N-hydroxy-N-[3-fluoro-4-(methylsulfonylamino)benzyl]thiourea,  
 N-[2-(3,4-dimethylbenzyl)-3-(pivaloyloxy)propyl]-N-hydroxy-N-[2-fluoro-4-(methylsulfonylamino)benzyl]thiourea,

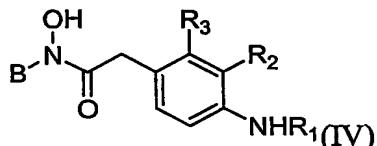
30 N-[2-(3,4-dimethylbenzyl)-3-(pivaloyloxy)propyl]-N-hydroxy-N-[2-chloro-4-(methylsulfonylamino)benzyl]thiourea,

N-[2-(4-*tert*-butylbenzyl)-3-(pivaloyloxy)propyl]-N-hydroxy-N-[4-(methylsulfonyl amino)benzyl] thiourea, and

N-[2-(4-*tert*-butylbenzyl)-3-(pivaloyloxy)propyl]-N-hydroxy-N-[3-fluoro-4-(methylsulfonylamino)benzyl] thiourea.

5

4. The compound according to claim 1 represented by the following general formula (IV), the pharmaceutically acceptable salt or the isomer thereof:

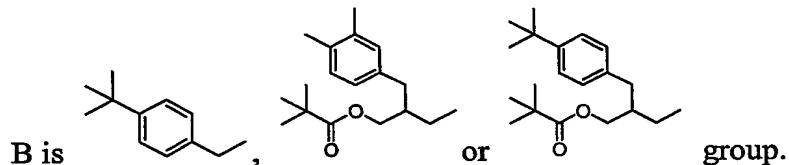


wherein

10  $\text{R}_1$  is a halogen-substituted or unsubstituted lower alkylsulfone having 1 to 5 carbon atoms, arylsulfone or a lower alkylcarbonyl group having 1 to 5 carbon atoms;

$\text{R}_2$  is a hydrogen atom, a methoxyl group or a halogen atom;

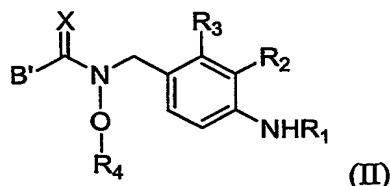
$\text{R}_3$  is a hydrogen atom or a halogen atom;



15

5. The compound according to claim 4 wherein said compound is N-(4-*tert*-butylbenzyl)-N-hydroxy-[4-(methylsulfonylamino)phenyl] acetamide.

20 6. A compound represented by general formula (II), the pharmaceutically acceptable salt or the isomer thereof:

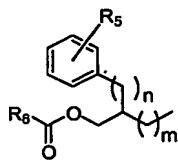


wherein

X is an oxygen or sulfur atom;

B' is B or a secondary amine substituted with B,

25 wherein B is a 4-*tert*-butylbenzyl, a 3,4-dimethylphenylpropyl, an oleyl or



(II-1) group, wherein m is integer of 0 or 1 and n is 1 or 2;

R<sub>1</sub> is a halogen-substituted or unsubstituted lower alkylsulfone having 1 to 5 carbon atoms, arylsulfonyl group or lower alkylcarbonyl group having 1 to 5 carbon atoms;

5 R<sub>2</sub> is a hydrogen atom, a methoxy group or halogen atom;

R<sub>3</sub> is a hydrogen atom, a methoxy group or halogen atom;

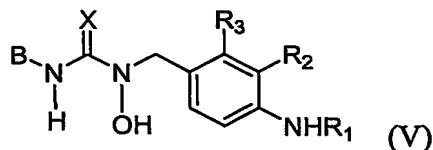
R<sub>4</sub> is a hydrogen atom or a lower alkyl group having 1 to 5 carbon atoms;

R<sub>5</sub> is a hydrogen atom or a lower alkyl group having 1 to 5 carbon atoms;

R<sub>6</sub> is a lower alkyl group having 1 to 5 carbon atoms or a phenyl group.

10

7. The compound according to claim 6 represented by general formula (V), the pharmaceutically acceptable salt or the isomer thereof:



wherein

15

X is an oxygen atom or a sulfur atom;

R<sub>1</sub> is a halogen-substituted or unsubstituted lower alkylsulfone having 1 to 5 carbon atoms, arylsulfonyl group or lower alkylcarbonyl group having 1 to 5 carbon atoms;

R<sub>2</sub> is a hydrogen atom or a halogen atom;

R<sub>3</sub> is a hydrogen atom;

20

B is , or group.

8. The compound according to claim 7 wherein said compound is at least one selected from the group consisting of;

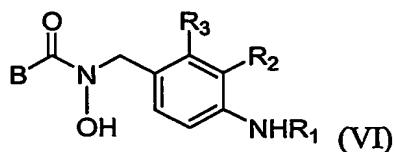
N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[4-(methylsulfonylamino)benzyl]thiourea,

25

N-[2-(3,4-dimethylbenzyl)-3(pivaloyloxy)propyl]-N-hydroxy-N-[4-(methylsulfonyl amino)benzyl]thiourea,

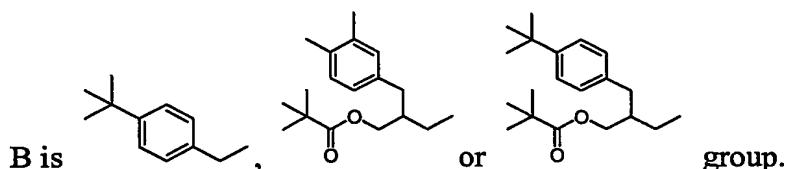
N-(4-*tert*-butylbenzyl)-N-hydroxy-N-[4-(methylsulfonylamino)benzyl]urea,  
N-[2-(3,4-dimethylbenzyl)-3(pivaloyloxy)propyl]-N-hydroxy-N-[3-fluoro-4-(methylsulfonylamino)benzyl]thiourea.

5 9. The compound according to claim 6 represented by general formula (VI), the pharmaceutically acceptable salt or the isomer thereof:



wherein

10 R<sub>1</sub> is a halogen-substituted or unsubstituted lower alkylsulfone having 1 to 5 carbon atoms, arylsulfonyl group or lower alkylcarbonyl group having 1 to 5 carbon atoms;  
R<sub>2</sub> is a hydrogen atom, a methoxyl group or a halogen atom;  
R<sub>3</sub> is a hydrogen atom, a methoxyl group or a halogen atom;



15 10. The compound according to claim 9 wherein said compound is N-hydroxy-N-[4-(methylsulfonylamino)benzyl]-2-(4-*tert*-butylphenyl)acetamide.

11. A pharmaceutical composition comprising the compound of general formula (I) as set forth in claim 1 as an active ingredient in amount effective amount for an antagonist of vanilloid receptor together with pharmaceutically acceptable carriers or diluents.

20 12. A pharmaceutical composition comprising the compound of general formula (I) as set forth in claim 1 as an active ingredient in amount effective to alleviate or treat pain diseases or inflammatory diseases together with pharmaceutically acceptable carriers, excipients or diluents.

25 13. A pharmaceutical composition comprising an efficient amount of the compound

represented by general formula (II) as set forth in claim 6 as an active ingredient in amount effective for an antagonist of vanilloid receptor together with pharmaceutically acceptable carriers or diluents.

- 5      14. A pharmaceutical composition comprising the compound of general formula (II) as set forth in claim 6 as an active ingredient in amount effective amount to alleviate or treat pain disease together with pharmaceutically acceptable carriers or diluents.
- 10     15. The pharmaceutical composition according to claim 12 or 14 wherein said pain disease is at least one selected from the group consisting of pain, acute pain, chronic pain, neuropathic pain, post-operative pain, migraine, arthralgia, neuropathies, nerve injury, diabetic neuropathy, neurodegeneration, neurotic skin disorder, stroke, urinary bladder hypersensitivity, irritable bowel syndrome, a respiratory disorder such as asthma or chronic obstructive pulmonary disease, irritation of skin, eye or mucous membrane, farscence, stomach-duodenal ulcer, inflammatory bowel disease caused by the vanilloid receptor antagonistic activity.
- 15     16. A pharmaceutical composition comprising the compound of any one of claims 1 to 10 as an active ingredient in amount effective for analgesic and anti-inflammation together with pharmaceutically acceptable carriers or diluents.
- 20     17. A pharmaceutical composition comprising the compound of any one of claims 1 to 10 as an active ingredient together with pharmaceutically acceptable carriers or diluents for preventing and treating urgent urinary incontinence.
- 25     18. Use of the compound of any one of claim 1 to 10 for the preparation of therapeutic agent for the preventing and treating pain disease or inflammatory disease by showing vanilloid receptor-antagonistic activity in human or mammal.